

06/09/2006 10814410.trn

Connecting via Winsock to STN

Welcome to STN International! Enter x:x

LOGINID:SSSPTA1626GMS

PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * Welcome to STN International * * * * *

NEWS 1 Web Page URLs for STN Seminar Schedule - N. America
NEWS 2 "Ask CAS" for self-help around the clock
NEWS 3 JAN 17 Pre-1988 INPI data added to MARPAT
NEWS 4 FEB 21 STN AnaVist, Version 1.1, lets you share your STN AnaVist
visualization results
NEWS 5 FEB 22 The IPC thesaurus added to additional patent databases on STN
NEWS 6 FEB 22 Updates in EPFULL; IPC 8 enhancements added
NEWS 7 FEB 27 New STN AnaVist pricing effective March 1, 2006
NEWS 8 MAR 03 Updates in PATDPA; addition of IPC 8 data without attributes
NEWS 9 MAR 22 EMBASE is now updated on a daily basis
NEWS 10 APR 03 New IPC 8 fields and IPC thesaurus added to PATDPAFULL
NEWS 11 APR 03 Bibliographic data updates resume; new IPC 8 fields and IPC
thesaurus added in PCTFULL
NEWS 12 APR 04 STN AnaVist \$500 visualization usage credit offered
NEWS 13 APR 12 LINSPEC, learning database for INSPEC, reloaded and enhanced
NEWS 14 APR 12 Improved structure highlighting in FQHIT and QHIT display
in MARPAT
NEWS 15 APR 12 Derwent World Patents Index to be reloaded and enhanced during
second quarter; strategies may be affected
NEWS 16 MAY 10 CA/CAPLUS enhanced with 1900-1906 U.S. patent records
NEWS 17 MAY 11 KOREAPAT updates resume
NEWS 18 MAY 19 Derwent World Patents Index to be reloaded and enhanced
NEWS 19 MAY 30 IPC 8 Rolled-up Core codes added to CA/CAPLUS and
USPATFULL/USPAT2
NEWS 20 MAY 30 The F-Term thesaurus is now available in CA/CAPLUS
NEWS 21 JUN 02 The first reclassification of IPC codes now complete in
INPADOC

NEWS EXPRESS FEBRUARY 15 CURRENT VERSION FOR WINDOWS IS V8.01a,
CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
AND CURRENT DISCOVER FILE IS DATED 19 DECEMBER 2005.
V8.0 AND V8.01 USERS CAN OBTAIN THE UPGRADE TO V8.01a AT
<http://download.cas.org/express/v8.0-Discover/>

NEWS HOURS STN Operating Hours Plus Help Desk Availability
NEWS LOGIN Welcome Banner and News Items
NEWS IPC8 For general information regarding STN implementation of IPC 8
NEWS X25 X.25 communication option no longer available after June 2006

Enter NEWS followed by the item number or name to see news on that
specific topic.

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* * * * * STN Columbus * * * * *

FILE 'HOME' ENTERED AT 14:41:12 ON 09 JUN 2006

=>

Uploading

THIS COMMAND NOT AVAILABLE IN THE CURRENT FILE

Do you want to switch to the Registry File?

Choice (Y/n):

Switching to the Registry File...

Some commands only work in certain files. For example, the EXPAND command can only be used to look at the index in a file which has an index. Enter "HELP COMMANDS" at an arrow prompt (=>) for a list of commands which can be used in this file.

=> FILE REGISTRY

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 14:41:24 ON 09 JUN 2006

USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.

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STRUCTURE FILE UPDATES: 8 JUN 2006 HIGHEST RN 887296-19-7

DICTIONARY FILE UPDATES: 8 JUN 2006 HIGHEST RN 887296-19-7

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH January 6, 2006

Please note that search-term pricing does apply when conducting SmartSELECT searches.

*
* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added, *
* effective March 20, 2005. A new display format, IDERL, is now *
* available and contains the CA role and document type information. *
*

Structure search iteration limits have been increased. See HELP SLIMITS for details.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information

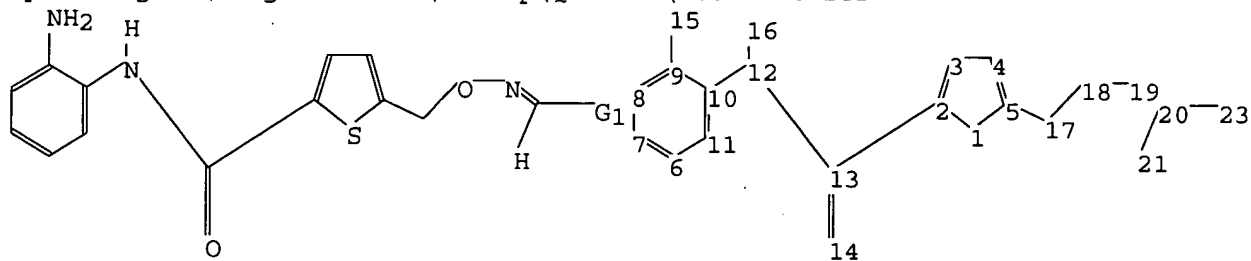
06/09/2006 10814410.trn

on property searching in REGISTRY, refer to:

<http://www.cas.org/ONLINE/UG/regprops.html>

=>

Uploading C:\Program Files\Stnexp\Queries\10814410.str



chain nodes :

12 13 14 15 16 17 18 19 20 21 23

ring nodes :

1 2 3 4 5 6 7 8 9 10 11

chain bonds :

2-13 5-17 9-15 10-12 12-13 12-16 13-14 17-18 18-19 19-20 20-21 20-23

ring bonds :

1-2 1-5 2-3 3-4 4-5 6-7 6-11 7-8 8-9 9-10 10-11

exact/norm bonds :

9-15 10-12 12-13 13-14 17-18 18-19 19-20 20-23

exact bonds :

1-2 1-5 2-3 2-13 3-4 4-5 5-17 12-16 20-21

normalized bonds :

6-7 6-11 7-8 8-9 9-10 10-11

isolated ring systems :

containing 1 : 6 :

G1: Cy, Hy, Ph

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom

11:Atom 12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS 18:CLASS

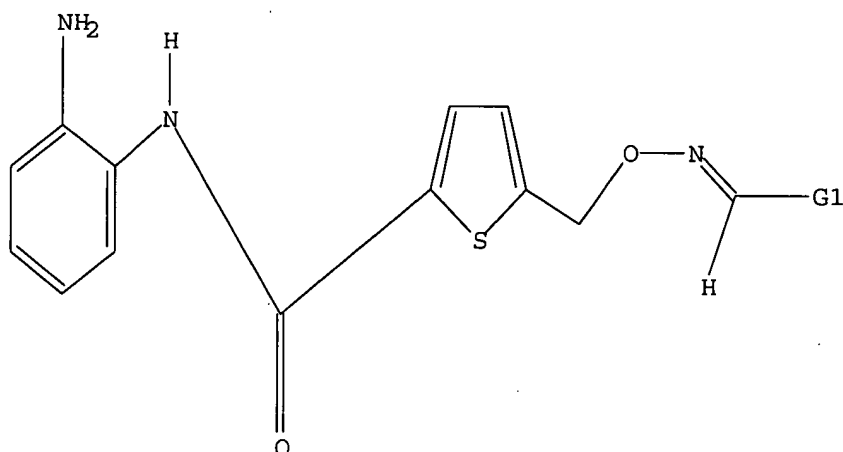
19:CLASS 20:CLASS 21:CLASS 23:CLASS

L1 STRUCTURE UPLOADED

=> d l1

L1 HAS NO ANSWERS

L1 STR



G1 Cy,Hy,Ph

Structure attributes must be viewed using STN Express query preparation.

=> s l1

SAMPLE SEARCH INITIATED 14:41:42 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 0 TO ITERATE

100.0% PROCESSED

0 ITERATIONS

0 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS: 0 TO 0

PROJECTED ANSWERS: 0 TO 0

L2 0 SEA SSS SAM L1

=> s l1 sss full

FULL SEARCH INITIATED 14:41:48 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 34 TO ITERATE

100.0% PROCESSED

34 ITERATIONS

16 ANSWERS

SEARCH TIME: 00.00.01

L3 16 SEA SSS FUL L1

=> FIL HCAPLUS

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

166.94

167.15

FILE 'HCAPLUS' ENTERED AT 14:41:53 ON 09 JUN 2006

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FILE COVERS 1907 - 9 Jun 2006 VOL 144 ISS 25
FILE LAST UPDATED: 8 Jun 2006 (20060608/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 13

L4 1 L3

=> FIL REGISTRY

COST IN U.S. DOLLARS

SINCE FILE	TOTAL
ENTRY	SESSION
2.53	169.68

FULL ESTIMATED COST

FILE 'REGISTRY' ENTERED AT 14:42:42 ON 09 JUN 2006
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DICTIONARY FILE UPDATES: 8 JUN 2006 HIGHEST RN 887296-19-7

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*
* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added, *
* effective March 20, 2005. A new display format, IDERL, is now *
* available and contains the CA role and document type information. *
*

Structure search iteration limits have been increased. See HELP SLIMITS for details.

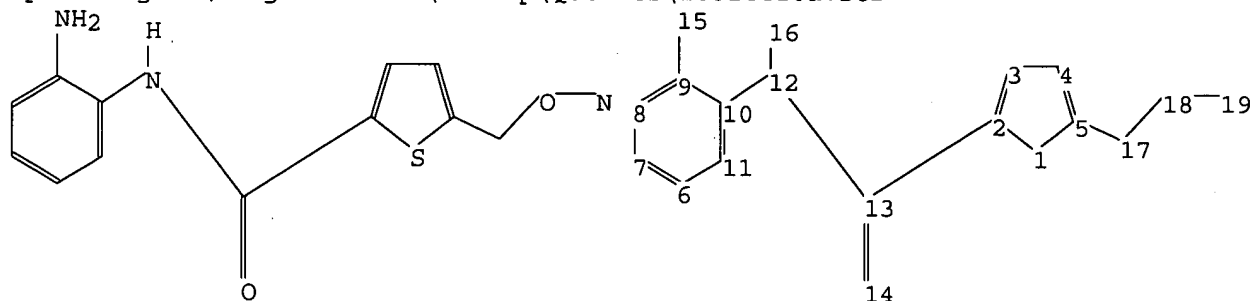
REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

06/09/2006 10814410.trn

<http://www.cas.org/ONLINE/UG/regprops.html>

=>

Uploading C:\Program Files\Stnexp\Queries\10814410a.str



chain nodes :

12 13 14 15 16 17 18 19

ring nodes :

1 2 3 4 5 6 7 8 9 10 11

chain bonds :

2-13 5-17 9-15 10-12 12-13 12-16 13-14 17-18 18-19

ring bonds :

1-2 1-5 2-3 3-4 4-5 6-7 6-11 7-8 8-9 9-10 10-11

exact/norm bonds :

9-15 10-12 12-13 13-14 17-18 18-19

exact bonds :

1-2 1-5 2-3 2-13 3-4 4-5 5-17 12-16

normalized bonds :

6-7 6-11 7-8 8-9 9-10 10-11

isolated ring systems :

containing 1 : 6 :

G1: Cy, Hy, Ph

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom

11:Atom 12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS 18:CLASS

19:CLASS

L5 STRUCTURE UPLOADED

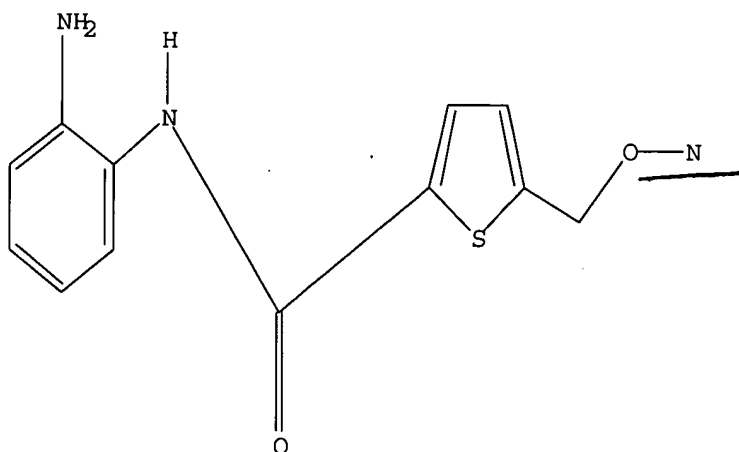
=> d 15

L5 HAS NO ANSWERS

L5 STR

06/09/2006

10814410.trn



G1 Cy,Hy,Ph

Structure attributes must be viewed using STN Express query preparation.

=> s 15

SAMPLE SEARCH INITIATED 14:43:00 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 0 TO ITERATE

100.0% PROCESSED

0 ITERATIONS

0 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**

PROJECTED ITERATIONS: 0 TO 0

PROJECTED ANSWERS: 0 TO 0

L6

0 SEA SSS SAM L5

=> s 15 sss full

FULL SEARCH INITIATED 14:43:07 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 36 TO ITERATE

100.0% PROCESSED

36 ITERATIONS

27 ANSWERS

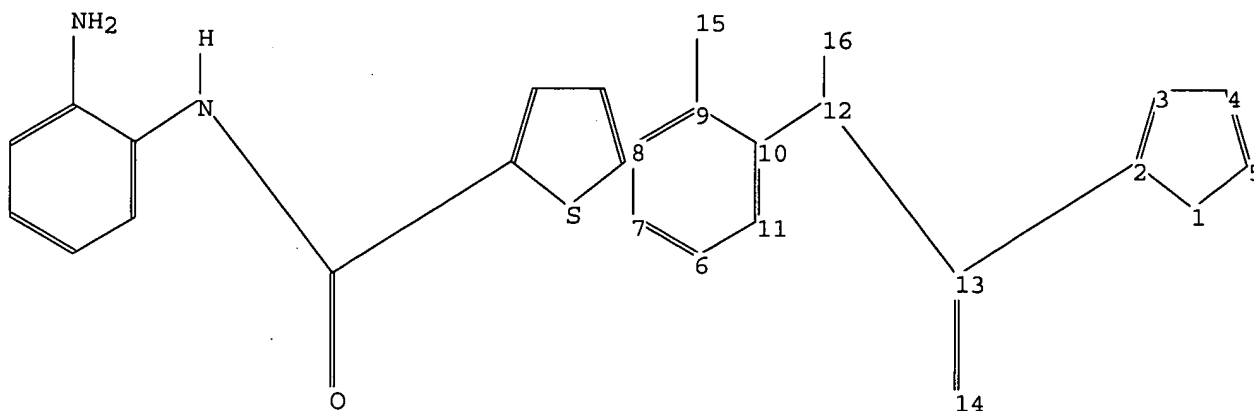
SEARCH TIME: 00.00.01

L7

27 SEA SSS FUL L5

=>

Uploading C:\Program Files\Stnexp\Queries\10814410b.str



```

chain nodes :
12 13 14 15 16
ring nodes :
1 2 3 4 5 6 7 8 9 10 11
chain bonds :
2-13 9-15 10-12 12-13 12-16 13-14
ring bonds :
1-2 1-5 2-3 3-4 4-5 6-7 6-11 7-8 8-9 9-10 10-11
exact/norm bonds :
9-15 10-12 12-13 13-14
exact bonds :
1-2 1-5 2-3 2-13 3-4 4-5 12-16
normalized bonds :
6-7 6-11 7-8 8-9 9-10 10-11
isolated ring systems :
containing 1 : 6.:
    
```

G1: Cy, Hy, Ph

```

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS
    
```

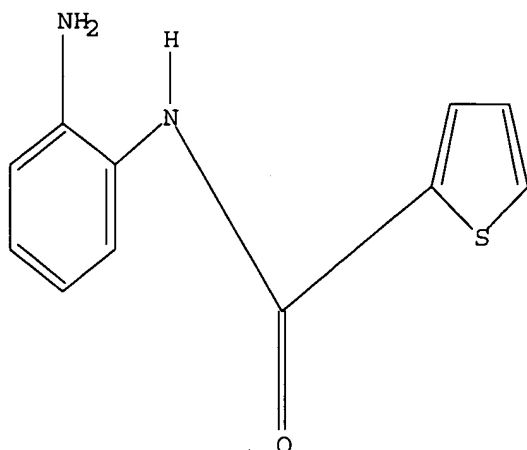
L8 STRUCTURE UPLOADED

```

=> d 18
L8 HAS NO ANSWERS
L8 STR
    
```

06/09/2006

10814410.trn



G1 Cy,Hy,Ph

Structure attributes must be viewed using STN Express query preparation.

=> s l8

SAMPLE SEARCH INITIATED 14:44:18 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 108 TO ITERATE

100.0% PROCESSED 108 ITERATIONS

8 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS: 1537 TO 2783

PROJECTED ANSWERS: 8 TO 329

L9 8 SEA SSS SAM L8

=> s l8 sss full

FULL SEARCH INITIATED 14:44:24 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 2303 TO ITERATE

100.0% PROCESSED 2303 ITERATIONS

167 ANSWERS

SEARCH TIME: 00.00.01

L10 167 SEA SSS FUL L8

=> FIL HCAPLUS

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

334.32

504.00

FILE 'HCAPLUS' ENTERED AT 14:44:28 ON 09 JUN 2006

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FILE COVERS 1907 - 9 Jun 2006 VOL 144 ISS 25
FILE LAST UPDATED: 8 Jun 2006 (20060608/ED)

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This file contains CAS Registry Numbers for easy and accurate substance identification.

=> d his

(FILE 'HOME' ENTERED AT 14:41:12 ON 09 JUN 2006)

FILE 'REGISTRY' ENTERED AT 14:41:24 ON 09 JUN 2006

L1 STRUCTURE UPLOADED
L2 0 S L1
L3 16 S L1 SSS FULL

FILE 'HCAPLUS' ENTERED AT 14:41:53 ON 09 JUN 2006

L4 1 S L3

FILE 'REGISTRY' ENTERED AT 14:42:42 ON 09 JUN 2006

L5 STRUCTURE UPLOADED
L6 0 S L5
L7 27 S L5 SSS FULL
L8 STRUCTURE UPLOADED
L9 8 S L8
L10 167 S L8 SSS FULL

FILE 'HCAPLUS' ENTERED AT 14:44:28 ON 09 JUN 2006

=> s 17

L11 1 L7

=> s 110

L12 21 L10

=> d 14 ibib abs hitstr tot

L4 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:857590 HCAPLUS

DOCUMENT NUMBER: 141:332037

TITLE: Preparation of aminophenyl thiophenecarboxamide derivatives as HDAC inhibitors for the treatment of tumor growth

INVENTOR(S): Fertig, Georg; Herting, Frank; Kubbies, Manfred; Limberg, Anja; Reiff, Ulrike; Weidner, Michael

PATENT ASSIGNEE(S): F. Hoffmann-La Roche Ag, Switz.

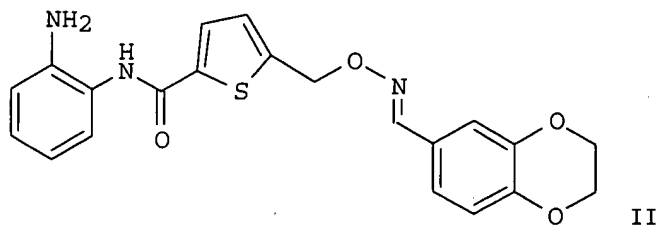
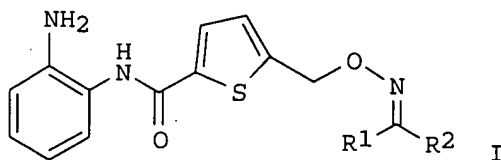
SOURCE: PCT Int. Appl., 31 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004087693	A1	20041014	WO 2004-EP3498	20040402
W:			AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW	
RW:			BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG	
US 2004214880	A1	20041028	US 2004-814410	20040331
AU 2004226215	A1	20041014	AU 2004-226215	20040402
CA 2519301	AA	20041014	CA 2004-2519301	20040402
EP 1613622	A1	20060111	EP 2004-725309	20040402
R:			AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR	
BR 2004009182	A	20060411	BR 2004-9182	20040402
CN 1771247	A	20060510	CN 2004-80009270	20040402
JP 2006515345	T2	20060525	JP 2006-500084	20040402
PRIORITY APPLN. INFO.:			EP 2003-7829	A 20030404
			WO 2004-EP3498	A 20040402
OTHER SOURCE(S):		MARPAT 141:332037		
GI				



AB Title compds. represented by the formula I [wherein R1 = H or alkyl; R2 = (un)substituted (hetero)aryl or heterocyclyl; R1R2 = cyclic hydrocarbon; and pharmaceutically acceptable salts thereof] were prepared as histone deacetylase (HDAC) inhibitors. For example, II was given in a multi-step synthesis starting from the reaction of Me 5-bromomethylthiophene-2-carboxylate with 2,3-dihydrobenzo[1,4]dioxine-6-carboxaldehyde oxime. I showed inhibition of HDAC in PC3 cellular acetylation assay with 116-180% control rate. Thus, I and their pharmaceutical compns. are useful as HDAC

inhibitors for the treatment of tumor growth (no data).

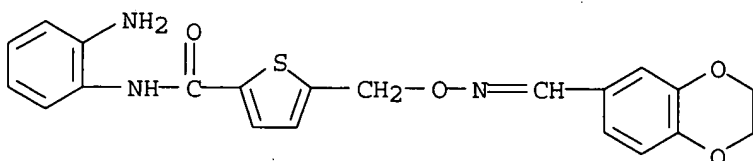
IT 773059-97-5P 773060-02-9P 773060-03-0P
773060-05-2P 773060-08-5P 773060-09-6P
773060-10-9P 773060-11-0P 773060-13-2P
773060-14-3P 773060-15-4P 773060-16-5P
773060-17-6P 773060-18-7P 773060-19-8P
773060-20-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of aminophenyl thiophenecarboxamide derivs. as HDAC inhibitors for the treatment of tumor growth)

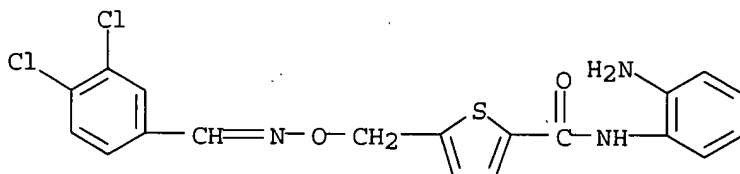
RN 773059-97-5 HCAPLUS

CN 2-Thiophenecarboxamide, N-(2-aminophenyl)-5-[[[(2,3-dihydro-1,4-benzodioxin-6-yl)methylene]amino]oxy]methyl]- (9CI) (CA INDEX NAME)



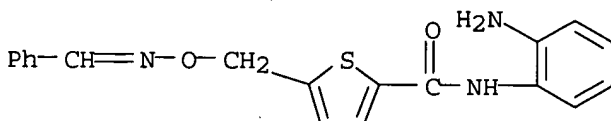
RN 773060-02-9 HCAPLUS

CN 2-Thiophenecarboxamide, N-(2-aminophenyl)-5-[[[(3,4-dichlorophenyl)methylene]amino]oxy]methyl]- (9CI) (CA INDEX NAME)



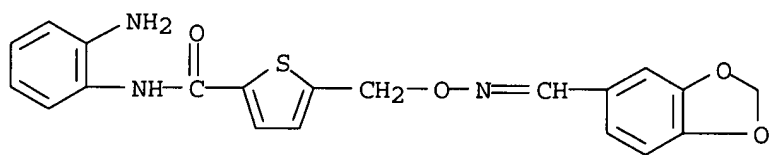
RN 773060-03-0 HCAPLUS

CN 2-Thiophenecarboxamide, N-(2-aminophenyl)-5-[[[(phenylmethylene)amino]oxy]methyl]- (9CI) (CA INDEX NAME)



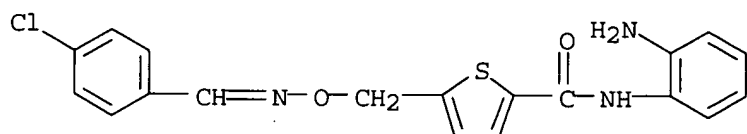
RN 773060-05-2 HCAPLUS

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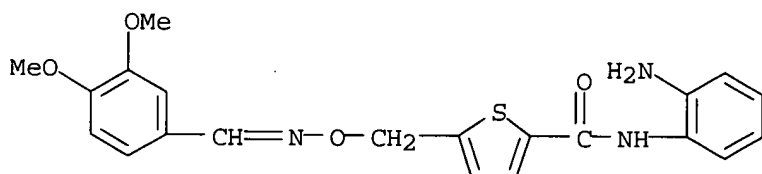
RN 773060-08-5 HCAPLUS

CN 2-Thiophenecarboxamide, N-(2-aminophenyl)-5-[[[(4-chlorophenyl)methylene]amino]oxy]methyl]- (9CI) (CA INDEX NAME)



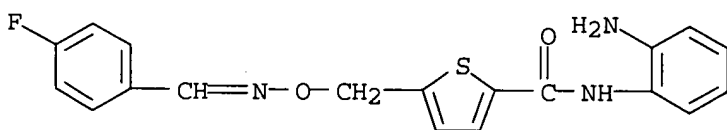
RN 773060-09-6 HCAPLUS

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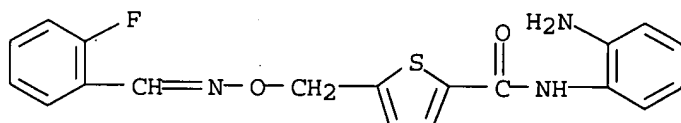
RN 773060-10-9 HCAPLUS

CN 2-Thiophenecarboxamide, N-(2-aminophenyl)-5-[[[(4-fluorophenyl)methylene]amino]oxy]methyl]- (9CI) (CA INDEX NAME)



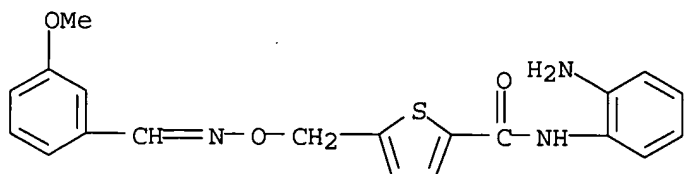
RN 773060-11-0 HCAPLUS

CN 2-Thiophenecarboxamide, N-(2-aminophenyl)-5-[[[(2-fluorophenyl)methylene]amino]oxy]methyl]- (9CI) (CA INDEX NAME)



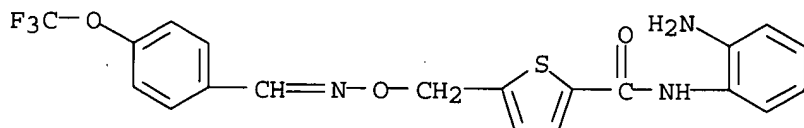
RN 773060-13-2 HCAPLUS

CN 2-Thiophenecarboxamide, N-(2-aminophenyl)-5-[[[(3-methoxyphenyl)methylene]amino]oxy]methyl]- (9CI) (CA INDEX NAME)



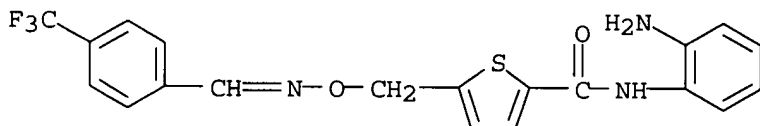
RN 773060-14-3 HCAPLUS

CN 2-Thiophenecarboxamide, N-(2-aminophenyl)-5-[[[[4-(trifluoromethoxy)phenyl]methylene]amino]oxy]methyl] - (9CI) (CA INDEX NAME)



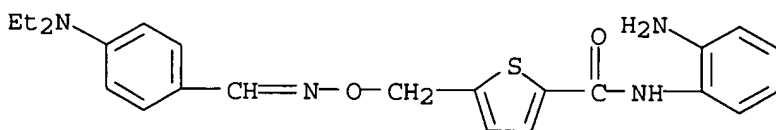
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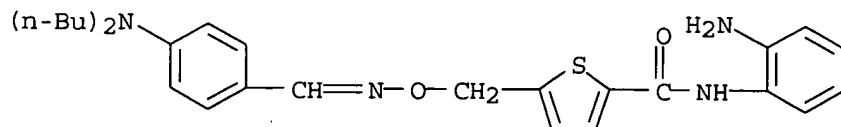
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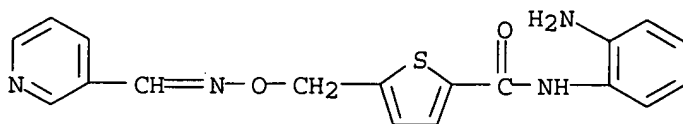
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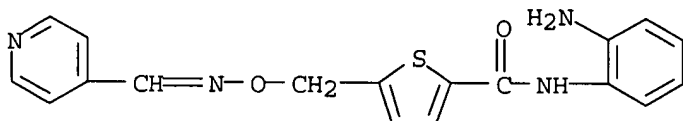


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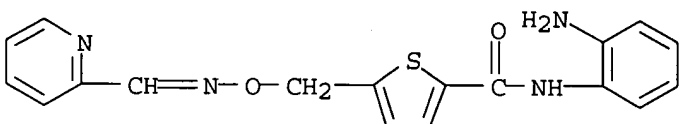
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RN 773060-19-8 HCAPLUS
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RN 773060-20-1 HCAPLUS
 CN 2-Thiophenecarboxamide, N-(2-aminophenyl)-5-[[[(2-pyridinylmethylene)amino]oxy]methyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L11 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 2004:857590 HCAPLUS
 DOCUMENT NUMBER: 141:332037
 TITLE: Preparation of aminophenyl thiophenecarboxamide derivatives as HDAC inhibitors for the treatment of tumor growth
 INVENTOR(S): Fertig, Georg; Herting, Frank; Kubbies, Manfred; Limberg, Anja; Reiff, Ulrike; Weidner, Michael
 PATENT ASSIGNEE(S): E. Hoffmann-La Roche Ag, Switz.
 SOURCE: PCT Int. Appl., 31 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004087693	A1	20041014	WO 2004-EP3498	20040402

W: AE, AG, AL, AM, AN, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,

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US 2004214880	A1	20041028	US 2004-814410	20040331
AU 2004226215	A1	20041014	AU 2004-226215	20040402
CA 2519301	AA	20041014	CA 2004-2519301	20040402
EP 1613622	A1	20060111	EP 2004-725309	20040402

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CN 1771247	A	20060510	CN 2004-80009270	20040402
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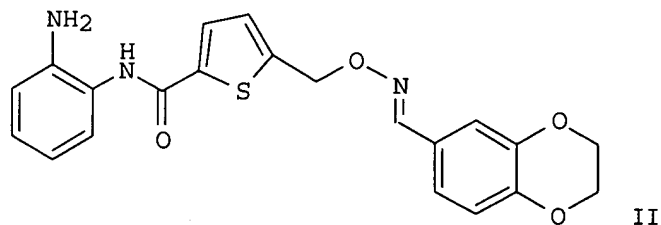
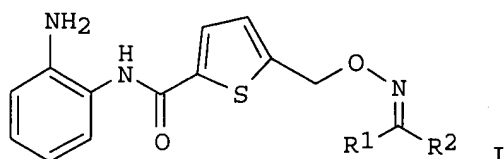
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PRIORITY APPLN. INFO.: EP 2003-7829 A 20030404

EP 2003-7829	A	20030404
WO 2004-EP3498	A	20040402

OTHER SOURCE(S) : MARPAT 141:332037

GI



AB Title compds. represented by the formula I [wherein R1 = H or alkyl; R2 = (un)substituted (hetero)aryl or heterocycllyl; R1R2 = cyclic hydrocarbon; and pharmaceutically acceptable salts thereof] were prepared as histone deacetylase (HDAC) inhibitors. For example, II was given in a multi-step synthesis starting from the reaction of Me 5-bromomethylthiophene-2-carboxylate with 2,3-dihydrobenzo[1,4]dioxine-6-carboxaldehyde oxime. I showed inhibition of HDAC in PC3 cellular acetylation assay with 116-180% control rate. Thus, I and their pharmaceutical compns. are useful as HDAC inhibitors for the treatment of tumor growth (no data).

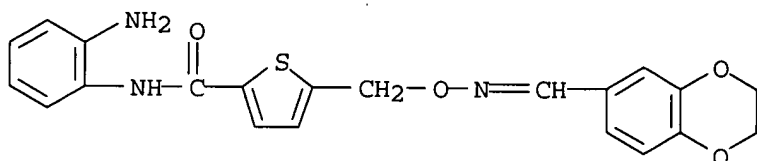
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RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of aminophenyl thiophenecarboxamide derivs. as HDAC inhibitors for the treatment of tumor growth)

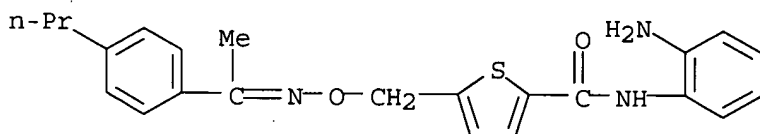
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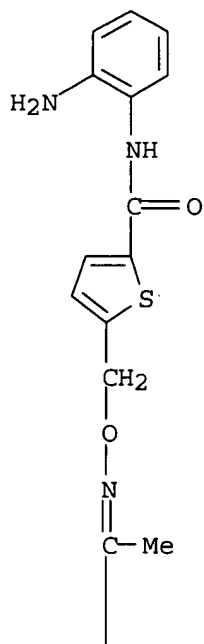
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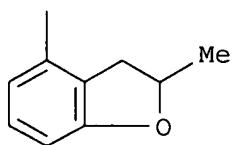
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PAGE 1-A

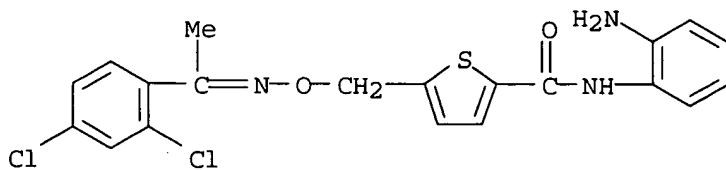


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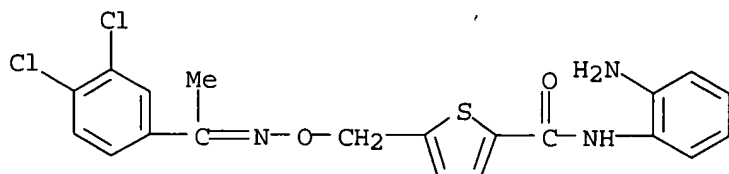
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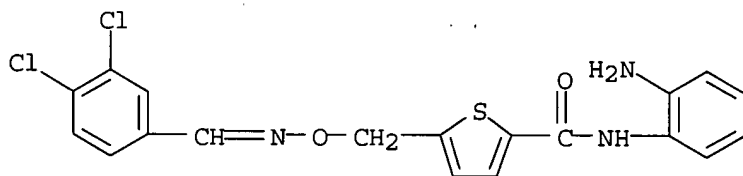
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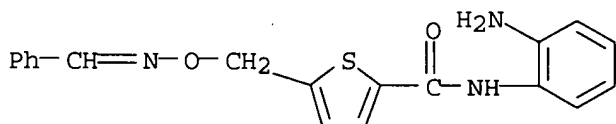
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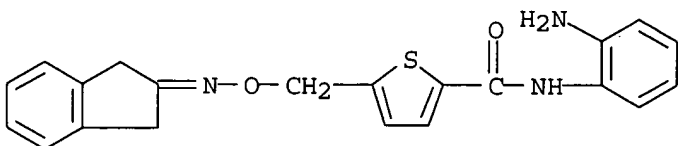
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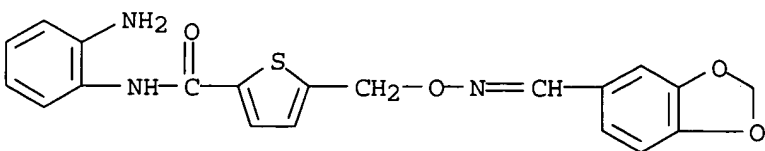
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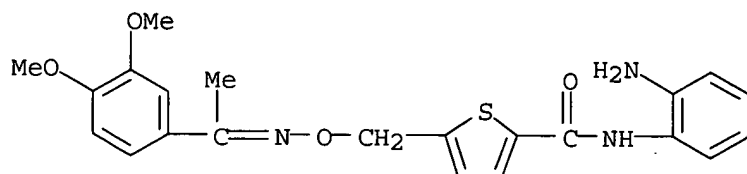
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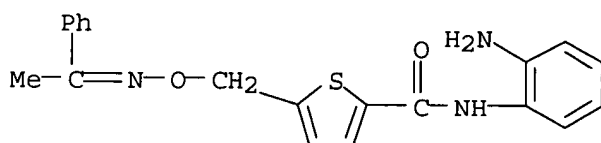
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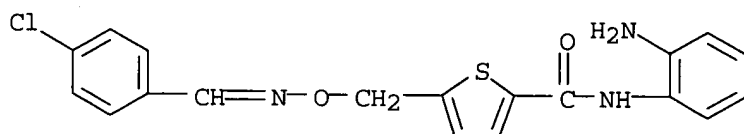
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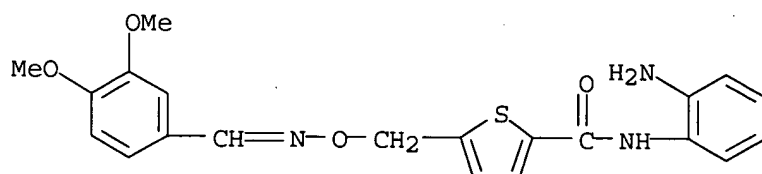
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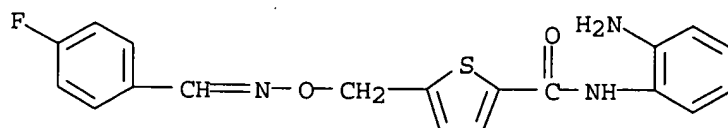
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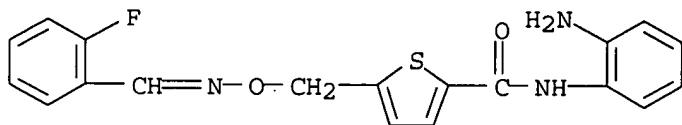
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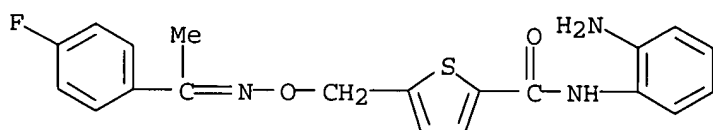
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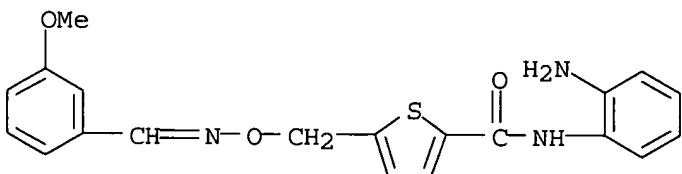
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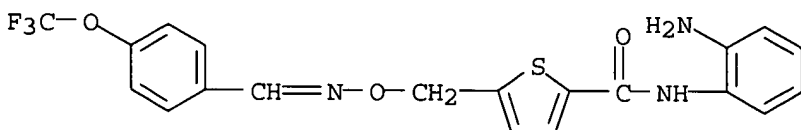
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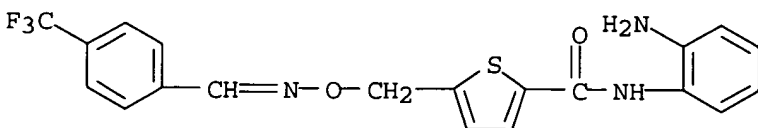
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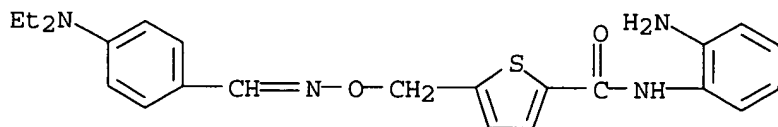
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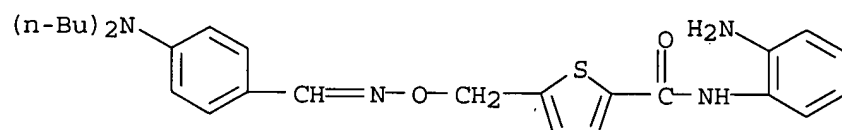
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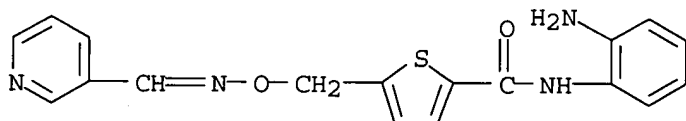
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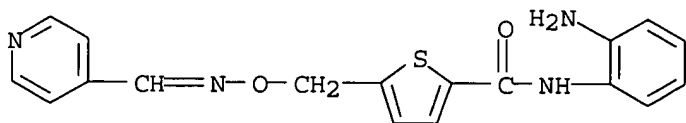
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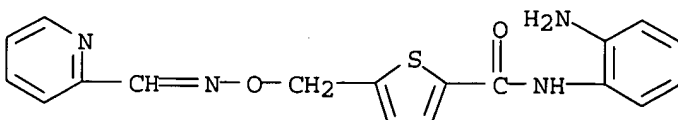
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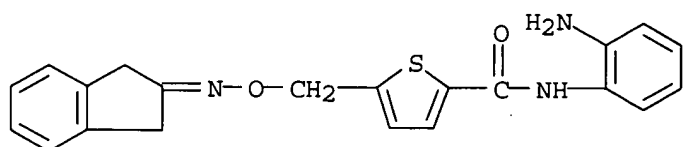
RN 773060-20-1 HCAPLUS

CN 2-Thiophenecarboxamide, N-(2-aminophenyl)-5-[[[(2-pyridinylmethylene)amino]oxy]methyl] - (9CI) (CA INDEX NAME)



RN 773060-21-2 HCAPLUS

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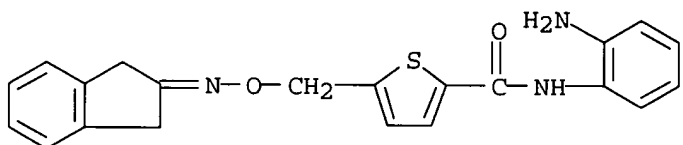
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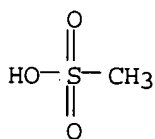
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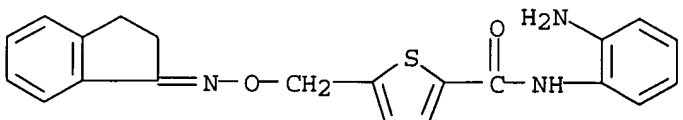
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REFERENCE COUNT:

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RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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ACCESSION NUMBER: 2003:892611 HCAPLUS

DOCUMENT NUMBER: 139:381375

TITLE: Preparation of amides as inhibitors of histone deacetylase

INVENTOR(S): Stokes, Elaine Sophie Elizabeth; Waring, Michael James; Gibson, Keith Hopkinson

PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited

SOURCE: PCT Int. Appl., 88 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

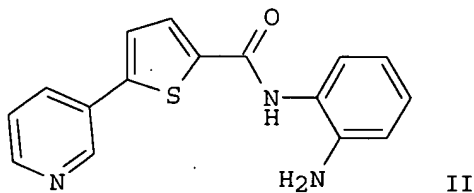
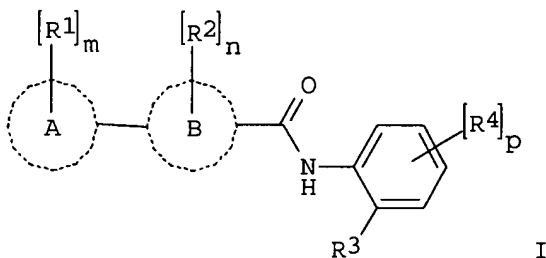
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FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

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OTHER SOURCE(S):			WO 2003-GB1703	W 20030417
GI			MARPAT 139:381375	



AB The title compds. [I; ring A = heterocyclyl; m = 0-4; R1 = OH, halo, CF3, CN; ring B = thienyl, thiadiazolyl, thiazolyl, pyrimidyl, pyrazinyl, pyridazinyl and pyridyl; R2 = halo; n = 0-2; R4 = OH, halo, CF3, CN; p = 0-4; R3 = NH2, OH] or pharmaceutically acceptable salts or in-vivo hydrolysable ester or amide thereof, useful in the treatment of diseases or medical conditions mediated by histone deacetylase such as cancer, were prepared. Thus, coupling N-(2-tert-butoxycarbonylaminophenyl)-5-bromothiophene-2-carboxamide with pyridine-3-boronic acid in the presence of Pd(PPh3)4 followed by Boc-group removal afforded II. The compds. I showed IC50 of < 2.5 μ M against recombinant human HDAC1 produced in Hi5 insect cells. The pharmaceutical compns. containing the compound I are claimed.

IT 623586-60-7P 623586-61-8P 623586-64-1P
 623587-35-9P 623587-36-0P 623587-37-1P
 623587-38-2P 623587-39-3P 623587-40-6P
 623587-41-7P 623587-42-8P 623587-43-9P
 623587-44-0P 623587-45-1P 623587-46-2P
 623587-47-3P 623587-48-4P 623587-49-5P
 623587-50-8P 623587-51-9P 623587-52-0P
 623587-53-1P 623587-54-2P 623587-55-3P

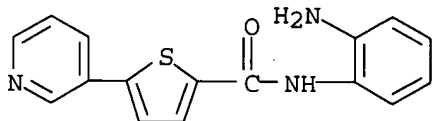
623587-56-4P 623587-57-5P 623587-58-6P
623587-59-7P 623587-60-0P 623587-61-1P
623587-62-2P 623587-63-3P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)

(preparation of amides as inhibitors of histone deacetylase)

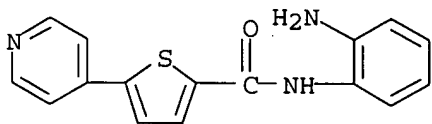
RN 623586-60-7 HCAPLUS

CN 2-Thiophenecarboxamide, N-(2-aminophenyl)-5-(3-pyridinyl)- (9CI) (CA
INDEX NAME)



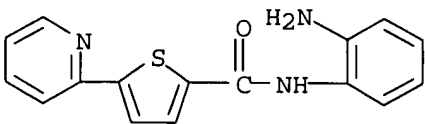
RN 623586-61-8 HCAPLUS

CN 2-Thiophenecarboxamide, N-(2-aminophenyl)-5-(4-pyridinyl)- (9CI) (CA
INDEX NAME)



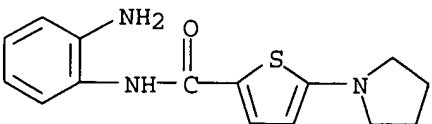
RN 623586-64-1 HCAPLUS

CN 2-Thiophenecarboxamide, N-(2-aminophenyl)-5-(2-pyridinyl)- (9CI) (CA
INDEX NAME)



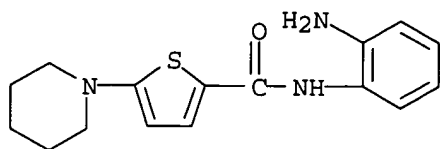
RN 623587-35-9 HCAPLUS

CN 2-Thiophenecarboxamide, N-(2-aminophenyl)-5-(1-pyrrolidinyl)- (9CI) (CA
INDEX NAME)



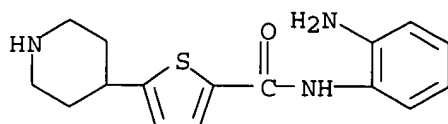
RN 623587-36-0 HCAPLUS

CN 2-Thiophenecarboxamide, N-(2-aminophenyl)-5-(1-piperidinyl)- (9CI) (CA
INDEX NAME)



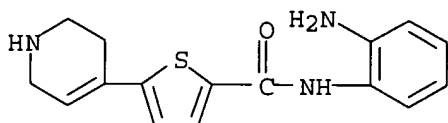
RN 623587-37-1 HCAPLUS

CN 2-Thiophenecarboxamide, N-(2-aminophenyl)-5-(4-piperidinyl)- (9CI) (CA INDEX NAME)



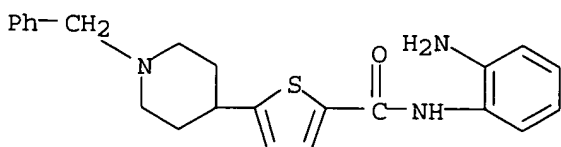
RN 623587-38-2 HCAPLUS

CN 2-Thiophenecarboxamide, N-(2-aminophenyl)-5-(1,2,3,6-tetrahydro-4-pyridinyl)- (9CI) (CA INDEX NAME)



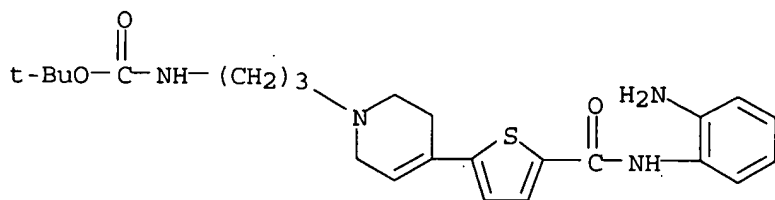
RN 623587-39-3 HCAPLUS

CN 2-Thiophenecarboxamide, N-(2-aminophenyl)-5-[1-(phenylmethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



RN 623587-40-6 HCAPLUS

CN Carbamic acid, [3-[4-[5-[[2-(aminophenyl)amino]carbonyl]-2-thienyl]-3,6-dihydro-1(2H)-pyridinyl]propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

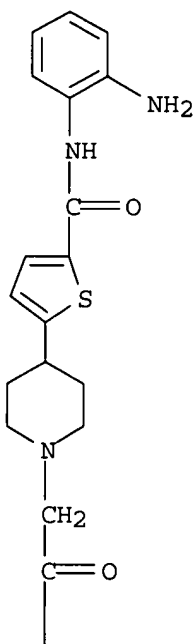


RN 623587-41-7 HCAPLUS

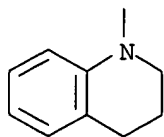
CN 2-Thiophenecarboxamide, N-(2-aminophenyl)-5-[1-[2-(3,4-dihydro-1(2H)-pyridinyl)]propyl]- (9CI) (CA INDEX NAME)

quinolinyl]-2-oxoethyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)

PAGE 1-A

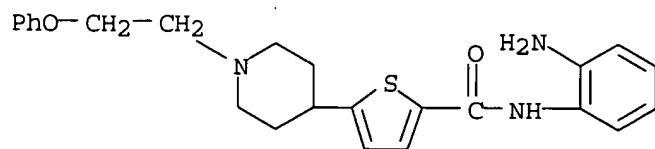


PAGE 2-A



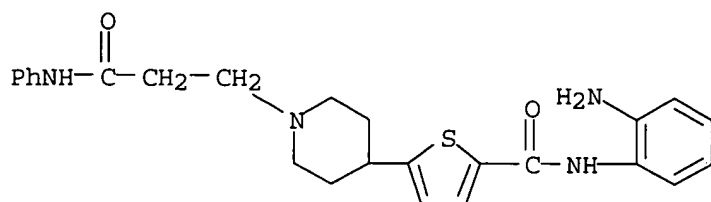
RN 623587-42-8 HCAPLUS

CN 2-Thiophenecarboxamide, N-(2-aminophenyl)-5-[1-(2-phenoxyethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



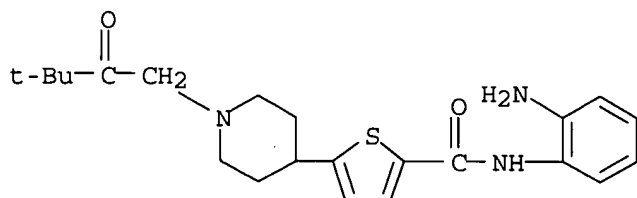
RN 623587-43-9 HCAPLUS

CN 1-Piperidinepropanamide, 4-[5-[[[(2-aminophenyl)amino]carbonyl]-2-thienyl]-N-phenyl]- (9CI) (CA INDEX NAME)



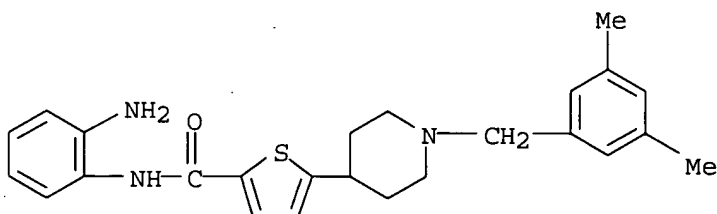
RN 623587-44-0 HCAPLUS

CN 2-Thiophenecarboxamide, N-(2-aminophenyl)-5-[1-(3,3-dimethyl-2-oxobutyl)-4-piperidinyl]-(9CI) (CA INDEX NAME)



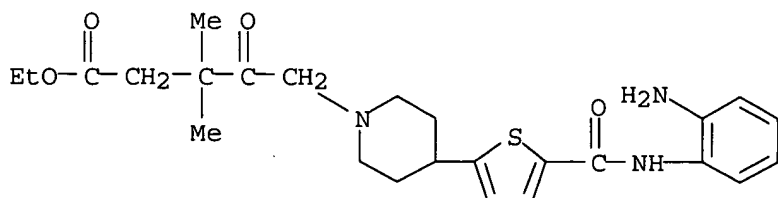
RN 623587-45-1 HCAPLUS

CN 2-Thiophenecarboxamide, N-(2-aminophenyl)-5-[1-[(3,5-dimethylphenyl)methyl]-4-piperidinyl]-(9CI) (CA INDEX NAME)



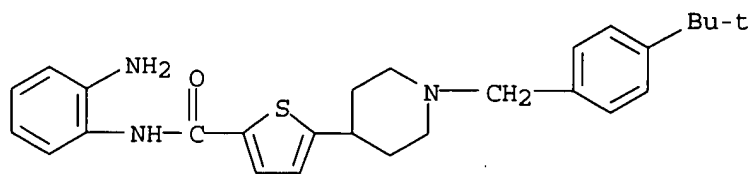
RN 623587-46-2 HCAPLUS

CN 1-Piperidinepentanoic acid, 4-[5-[[2-(aminophenyl)amino]carbonyl]-2-thienyl]-β,β-dimethyl-γ-oxo-, ethyl ester (9CI) (CA INDEX NAME)



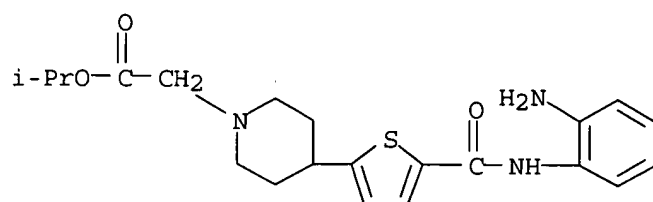
RN 623587-47-3 HCAPLUS

CN 2-Thiophenecarboxamide, N-(2-aminophenyl)-5-[1-[[4-(1,1-dimethylethyl)phenyl]methyl]-4-piperidinyl]-(9CI) (CA INDEX NAME)



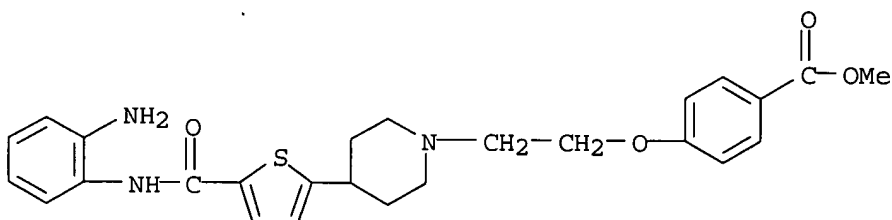
RN 623587-48-4 HCAPLUS

CN 1-Piperidineacetic acid, 4-[5-[[[(2-aminophenyl)amino]carbonyl]-2-thienyl]-1-methylethyl ester (9CI) (CA INDEX NAME)



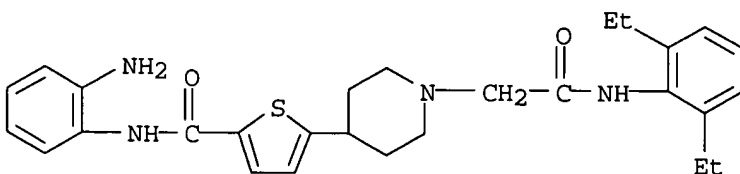
RN 623587-49-5 HCAPLUS

CN Benzoic acid, 4-[2-[4-[5-[[[(2-aminophenyl)amino]carbonyl]-2-thienyl]-1-piperidinyl]ethoxy]-, methyl ester (9CI) (CA INDEX NAME)



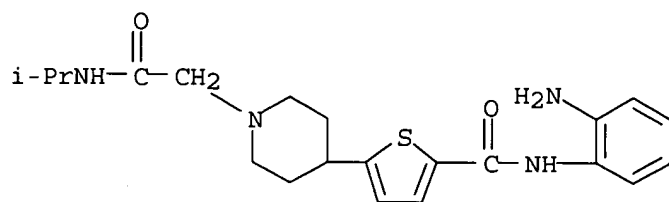
RN 623587-50-8 HCAPLUS

CN 1-Piperidineacetamide, 4-[5-[[[(2-aminophenyl)amino]carbonyl]-2-thienyl]-N-(2,6-diethylphenyl)- (9CI) (CA INDEX NAME)



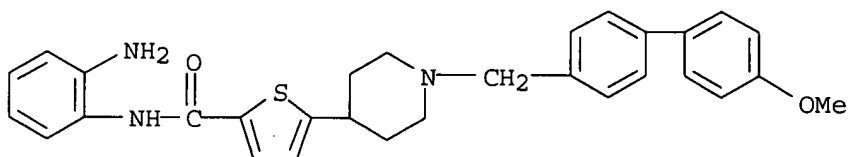
RN 623587-51-9 HCAPLUS

CN 1-Piperidineacetamide, 4-[5-[[[(2-aminophenyl)amino]carbonyl]-2-thienyl]-N-(1-methylethyl)- (9CI) (CA INDEX NAME)



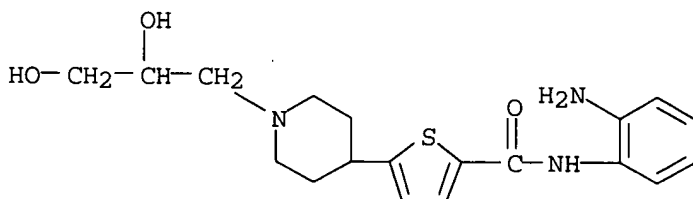
RN 623587-52-0 HCAPLUS

CN 2-Thiophenecarboxamide, N-(2-aminophenyl)-5-[1-[(4'-methoxy[1,1'-biphenyl]-4-yl)methyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



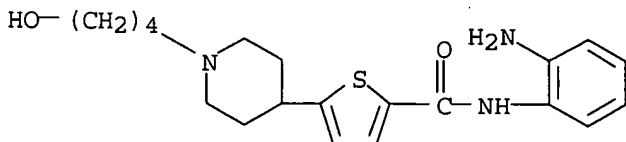
RN 623587-53-1 HCAPLUS

CN 2-Thiophenecarboxamide, N-(2-aminophenyl)-5-[1-(2,3-dihydroxypropyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



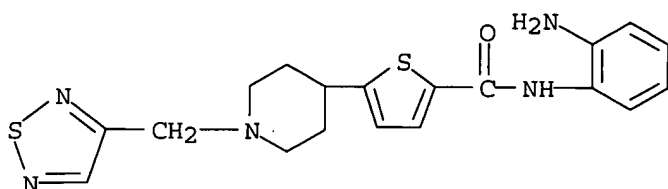
RN 623587-54-2 HCAPLUS

CN 2-Thiophenecarboxamide, N-(2-aminophenyl)-5-[1-(4-hydroxybutyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



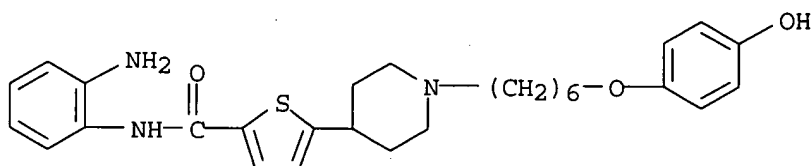
RN 623587-55-3 HCAPLUS

CN 2-Thiophenecarboxamide, N-(2-aminophenyl)-5-[1-(1,2,5-thiadiazol-3-ylmethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



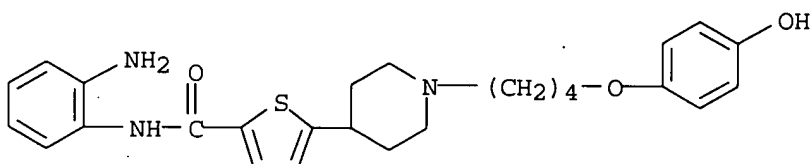
RN 623587-56-4 HCAPLUS

CN 2-Thiophenecarboxamide, N-(2-aminophenyl)-5-[1-[6-(4-hydroxyphenoxy)hexyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



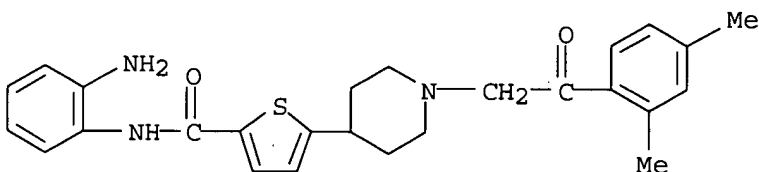
RN 623587-57-5 HCAPLUS

CN 2-Thiophenecarboxamide, N-(2-aminophenyl)-5-[1-[4-(4-hydroxyphenoxy)butyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



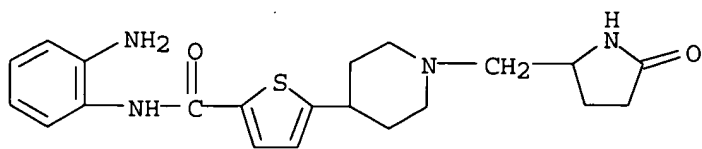
RN 623587-58-6 HCAPLUS

CN 2-Thiophenecarboxamide, N-(2-aminophenyl)-5-[1-[2-(2,4-dimethylphenyl)-2-oxoethyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



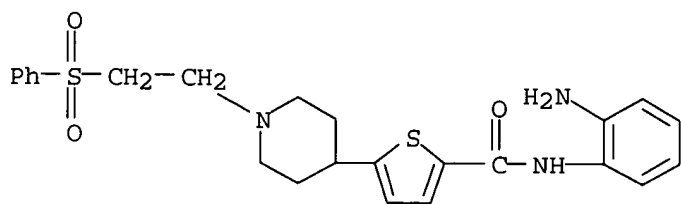
RN 623587-59-7 HCAPLUS

CN 2-Thiophenecarboxamide, N-(2-aminophenyl)-5-[1-[(5-oxo-2-pyrrolidinyl)methyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



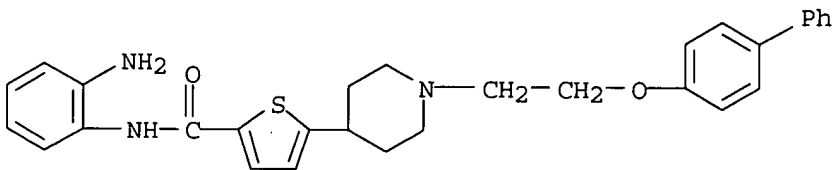
RN 623587-60-0 HCAPLUS

CN 2-Thiophenecarboxamide, N-(2-aminophenyl)-5-[1-[2-(phenylsulfonyl)ethyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



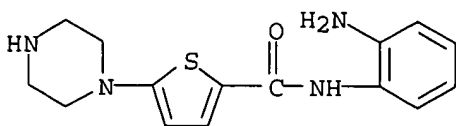
RN 623587-61-1 HCAPLUS

CN 2-Thiophenecarboxamide, N-(2-aminophenyl)-5-[1-[2-([1,1'-biphenyl]-4-yloxy)ethyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



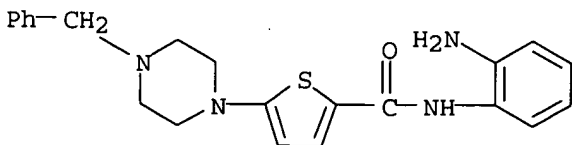
RN 623587-62-2 HCAPLUS

CN 2-Thiophenecarboxamide, N-(2-aminophenyl)-5-(1-piperazinyl)- (9CI) (CA INDEX NAME)



RN 623587-63-3 HCAPLUS

CN 2-Thiophenecarboxamide, N-(2-aminophenyl)-5-[4-(phenylmethyl)-1-piperazinyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT:

4

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 2 OF 11 HCAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 2003:366795 HCAPLUS
 DOCUMENT NUMBER: 138:385301
 TITLE: Preparation of heterocyclyl-substituted
 phenylenediamines as p21WAF1 inducers for treatment of
 tumor
 INVENTOR(S): Shibata, Tomoyuki; Iwataru, Hayato; Fujiwara, Kosaku
 PATENT ASSIGNEE(S): Sankyo Co., Ltd., Japan
 SOURCE: Jpn. Kokai Tokkyo Koho, 30 pp.
 CODEN: JKXXAF
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2003137866	A2	20030514	JP 2001-336449	20011101 <--
PRIORITY APPLN. INFO.:			JP 2001-336449	20011101
OTHER SOURCE(S):	MARPAT	138:385301		

GI

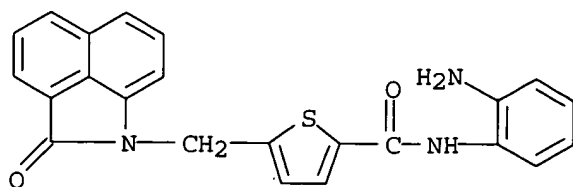
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB 2-ArADEGCONHC6H4NH2 [Ar = (un)substituted Q1-Q3, etc.; J, K = bond, CO, CH2; R1, R2 = H, C1-6 alkyl, C6-10 aryl; R1R2 may be bonded to form benzene ring; R3R4 = H, R3R4 may be O; R5 = H, C1-6 alkyl; A, E = bond, C1-6 alkylene; D = bond, CO, amido bond; G = C1-6 alkylene, C6-10 arylene, heterocycle residue; A = E ≠ bond; J = K ≠ bond] or their pharmacol. acceptable salts are prepared Thus, Me 4-aminomethylbenzoate HCl salt was amidated with 1,2-dihydrobenzo[cd]indole, hydrolyzed, and condensed with 1,2-phenylenediamine to give 4-(1,2-dihydrobenzo[cd]indol-1-yl)carbonylaminomethyl-N-(2-aminophenyl)benzamide, which induced p21WAF1 with EC50 value of 0.62 μM, vs. 1.90 μM, for MS 275.

IT **524947-02-2P**
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of heterocyclyl-substituted phenylenediamines as p21WAF1 inducers for treatment of tumor)

RN 524947-02-2 HCAPLUS

CN 2-Thiophenecarboxamide, N-(2-aminophenyl)-5-[(2-oxobenz[cd]indol-1(2H)-yl)methyl]- (9CI) (CA INDEX NAME)



L13 ANSWER 3 OF 11 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2003:133003 HCAPLUS

DOCUMENT NUMBER: 138:175871

TITLE: N-monoacylated derivatives of o-phenylenediamines and their six-membered heterocyclic analogs as antitumor agents

INVENTOR(S): Haag, Rainer; Leser-Reiff, Ulrike; Limberg, Anja; Weidner, Michael; Zimmermann, Gerd

PATENT ASSIGNEE(S): F. Hoffmann-La Roche AG, Switz.

SOURCE: PCT Int. Appl., 27 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003013484	A2	20030220	WO 2002-EP8708	20020805 <--
WO 2003013484	A3	20030417		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
EP 1416928	A2	20040512	EP 2002-767319	20020805
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK			
JP 2005508311	T2	20050331	JP 2003-518494	20020805
US 2003139404	A1	20030724	US 2002-212901	20020806 <--
US 6869953	B2	20050322		
US 2004192744	A1	20040930	US 2004-823211	20040413
US 6946462	B2	20050920		

PRIORITY APPLN. INFO.:

EP 2001-118741	A	20010807
WO 2002-EP8708	W	20020805
US 2002-212901	A1	20020806

OTHER SOURCE(S): MARPAT 138:175871

AB Based on the antiproliferative and differentiation-inducing activity, which results in the induction of apoptosis, the title compds. can be used for the treatment of cancer. The compds. were prepared by the reaction of the corresponding carboxylic acid in 0.2M solution of diisopropylamine in DMF followed by the addition of 0.1M solution of O-benzotriazol-1-yl-N,N,N',N'-tetramethyluronium tetrafluoroborate in DMF and an aryl diamine. Thus, tablets contained the above active compound 5, lactose 125, Sta-Rx 1500 6, microcryst. cellulose 30, and Mg stearate 1 mg/tablet.

IT 497825-25-9P 497825-56-6P

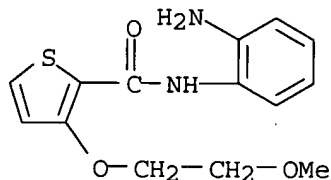
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of monoacylated derivs. of o-phenylenediamines and their 6-membered heterocyclic analogs as antitumor agents)

RN 497825-25-9 HCAPLUS

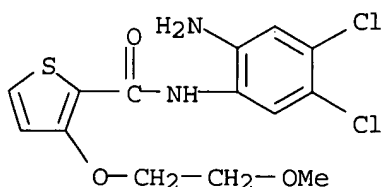
CN 2-Thiophenecarboxamide, N-(2-aminophenyl)-3-(2-methoxyethoxy)- (9CI) (CA

INDEX NAME)



RN 497825-56-6 HCAPLUS

CN 2-Thiophenecarboxamide, N-(2-amino-4,5-dichlorophenyl)-3-(2-methoxyethoxy)-(9CI) (CA INDEX NAME)



L13 ANSWER 4 OF 11 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2003:43028 HCAPLUS

DOCUMENT NUMBER: 138:106596

TITLE: Preparation of thiophenedicarboxamides and related compounds as histone deacetylase (HDAC) inhibitors.
 INVENTOR(S): Leser-Reiff, Ulrike; Sattelkau, Tim; Zimmermann, Gerd
 PATENT ASSIGNEE(S): Hoffman-La Roche, Inc., Germany
 SOURCE: U.S. Pat. Appl. Publ., 19 pp.
 CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003013757	A1	20030116	US 2002-167677	20020611 <--
US 6784173	B2	20040831		
CA 2449804	AA	20030213	CA 2002-2449804	20020613 <--
WO 2003011851	A2	20030213	WO 2002-EP6488	20020613 <--
WO 2003011851	A3	20030918		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

EP 1401824 A2 20040331 EP 2002-791436 20020613

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,

IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

CN 1516697	A	20040728	CN 2002-812010	20020613
BR 2002010424	A	20040817	BR 2002-10424	20020613
NZ 529874	A	20041224	NZ 2002-529874	20020613
JP 2005502641	T2	20050127	JP 2003-517043	20020613
ZA 2003009260	A	20050228	ZA 2003-9260	20031127
BG 108450	A	20050131	BG 2003-108450	20031215
US 2004214862	A1	20041028	US 2004-847166	20040517
PRIORITY APPLN. INFO.:			EP 2001-114496	A 20010615
			US 2002-167677	A3 20020611
			WO 2002-EP6488	W 20020613

OTHER SOURCE(S): MARPAT 138:106596

AB HONHCOACONR1R2 [A = (substituted) Ph, thienyl; R1, R2 = H, (substituted) alkyl, carbocyclyl, heterocyclyl; NR1R2 = (substituted) 3-6 membered ring], were prepared Thus, thiophene-2,5-dicarboxylic acid monomethyl ester and N-methylmorpholine in CH₂Cl₂ at -10° were treated with 1-aminomethylnaphthalene in CH₂Cl₂; the mixture was stirred 90 min to give 58% monoamide. This was stirred with NH₂OH.HCl and NaOMe in MeOH for 4 h to give thiophene-2,5-dicarboxylic acid 2-hydroxyamide 5-[(naphthalen-1-ylmethyl)amide]. Tested title compds. inhibited HT-29 tumor cell growth with IC₅₀ = 0.02-0.17 μM. A tablet formulation is given.

IT 487004-93-3P

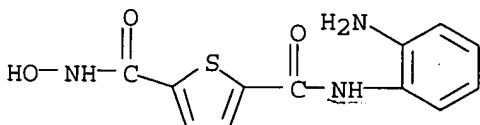
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(claimed compound; preparation of thiophenedicarboxamides and related compds.

as histone deacetylase (HDAC) inhibitors)

RN 487004-93-3 HCAPLUS

CN 2,5-Thiophenedicarboxamide, N-(2-aminophenyl)-N'-hydroxy- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 5 OF 11 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2001:228885 HCAPLUS

DOCUMENT NUMBER: 134:252339

TITLE: Preparation of benzimidazole derivatives as poly(ADP-ribose) polymerase (PARP) inhibitors

INVENTOR(S): Takayama, Kazuhisa; Koga, Yuji; Masuda, Naoyuki; Miyazaki, Yoji; Kimura, Takenori; Nagashima, Shinya; Okamoto, Yoshinori; Okada, Yohei; Takeuchi, Makoto

PATENT ASSIGNEE(S): Yamanouchi Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 49 pp.

CODEN: PIXXD2

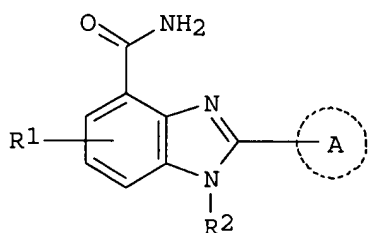
DOCUMENT TYPE: Patent

LANGUAGE: Japanese

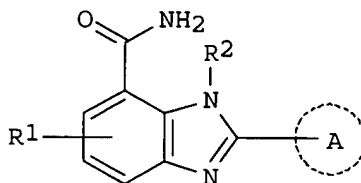
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001021615	A1	20010329	WO 2000-JP6319	20000914 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
PRIORITY APPLN. INFO.:			JP 1999-264431	A 19990917
			JP 2000-170715	A 20000607
OTHER SOURCE(S):		MARPAT 134:252339		
GI				



I



II

AB Benzimidazole derivs. having heterocyclic groups at the 2-position and carbamoyl at the 4-position as represented by general formula (I) or (II) or salts thereof (wherein R1 is H, lower alkyl, halo, or halo-lower alkyl; R2 is H, lower alkyl, or lower alkyl-carbonyl; and A is an optionally substituted heterocyclic group), which are useful in the prevention or the treatment of various PARP-related diseases such as inflammations (in particular chronic articular rheumatism), autoimmune diseases, and ischemic reperfusion disorders, are prepared Thus, 3.58 g Me 2-(pyridin-4-yl)-1H-benzimidazole-4-carboxylate was added to 35 mL NH4(l) at -50° in a metal sealed tube and heated at 140° for 3 days to give 2.58 g 2-(pyridin-4-yl)-1H-benzimidazole-4-carboxamide (III). III and 15 other compds. of I and II in vitro showed IC50 of 7-50 nM against PARP.

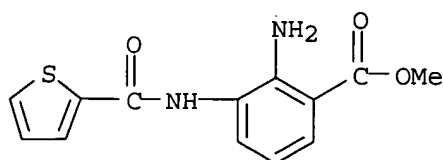
IT **330947-91-6P**, 2-Amino-3-[(thiophene-2-carbonyl)amino]benzoic acid methyl ester

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of benzimidazole derivs. as poly(ADP-ribose) polymerase (PARP) inhibitors in prevention or treatment of various PARP-related diseases)

RN 330947-91-6 HCAPLUS

CN Benzoic acid, 2-amino-3-[(2-thienylcarbonyl)amino]-, methyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 6 OF 11 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2000:769086 HCAPLUS

DOCUMENT NUMBER: 133:335159

TITLE: Preparation of N-pyridinyl-2-
[(thienylcarbonyl)amino]benzamides and analogs as
anticoagulants

INVENTOR(S): Arnaiz, Damian O.; Chou, Yuo-ling; Griedel, Brian D.;
Karanjawala, Rushad E.; Kochanny, Monica J.; Lee,
Wheeseong; Liang, Amy Mei; Morrissey, Michael M.;
Phillips, Gary B.; Sacchi, Karna Lyn; Sakata, Steven
T.; Shaw, Kenneth J.; Snider, R. Michael; Wu, Shung
C.; Ye, Bin; Zhao, Zuchun

PATENT ASSIGNEE(S): Berlex Laboratories, Inc., USA

SOURCE: U.S., 113 pp., Cont.-in-part of U.S. Ser. No. 994,284,
abandoned.

CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

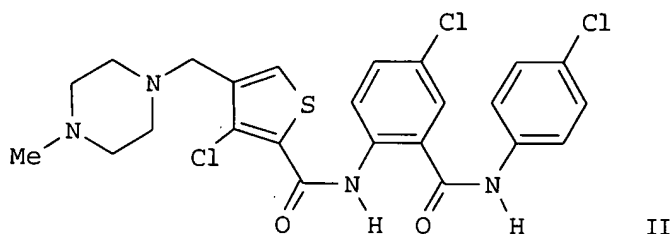
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6140351	A	20001031	US 1998-187459	19981105 <--
CA 2315070	AA	19990701	CA 1998-2315070	19981127 <--
WO 9932477	A1	19990701	WO 1998-EP7650	19981127 <--
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9918759	A1	19990712	AU 1999-18759	19981127 <--
AU 751856	B2	20020829		
EP 1040108	A1	20001004	EP 1998-963519	19981127 <--
EP 1040108	B1	20040225		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2001526283	T2	20011218	JP 2000-525414	19981127 <--
NZ 503809	A	20020426	NZ 1998-503809	19981127 <--
AT 260103	E	20040315	AT 1998-963519	19981127
RU 2226529	C2	20040410	RU 2000-119756	19981127
PT 1040108	T	20040630	PT 1998-963519	19981127
ES 2215337	T3	20041001	ES 1998-963519	19981127
ZA 9811599	A	19990817	ZA 1998-11599	19981217 <--

06/09/2006 10814410.trn

NO 2000003111	A	20000818	NO 2000-3111	20000616 <--
US 6380221	B1	20020430	US 2000-631450	20000803 <--
US 6498185	B1	20021224	US 2000-631452	20000803 <--
PRIORITY APPLN. INFO.:			US 1997-994284	B2 19971219
			US 1998-187459	A 19981105
			WO 1998-EP7650	W 19981127

OTHER SOURCE(S): MARPAT 133:335159
GI



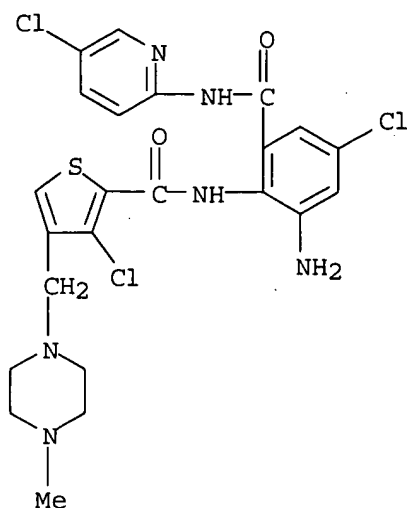
AB REZDR3 [I; D,E = Z1NR5C(:X), Z1NR5SO0-2, etc.; R,R3 = (un)substituted heterocyclyl or -aryl; R5 = H, (ar)alkyl, aryl; X = O, S, H2; Z = (un)substituted heterocyclylene or -arylene; Z1 = bond, alkylene, alkylidene, etc.] were prepared as factor Xa, thrombin, and prothrombinase inhibitors. Thus, H2NZCONHC6H4Cl-4 (Z = 4-chloro-1,2-phenylene) (preparation given) was N-acylated by 3-chloro-4-chloromethyl-2-thiophenecarbonyl chloride and the product aminated by 1-methylpiperazine to give title compound II. Data for biol. activity of I were given.

IT 229343-40-2P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-pyridinyl-2-[(thienylcarbonyl)amino]benzamides and analogs as anticoagulants)

RN 229343-40-2 HCAPLUS

CN 2-Thiophenecarboxamide, N-[2-amino-4-chloro-6-[[[(5-chloro-2-pyridinyl)amino]carbonyl]phenyl]-3-chloro-4-[(4-methyl-1-piperazinyl)methyl]- (9CI) (CA INDEX NAME)



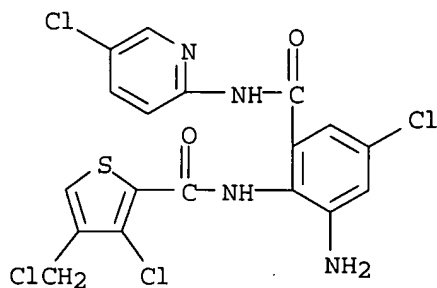
IT 229342-73-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of N-pyridinyl-2-[(thienylcarbonyl)amino]benzamides and analogs as anticoagulants)

RN 229342-73-8 HCAPLUS

CN 2-Thiophenecarboxamide, N-[2-amino-4-chloro-6-[[[(5-chloro-2-pyridinyl)amino]carbonyl]phenyl]-3-chloro-4-(chloromethyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 45 THERE ARE 45 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 7 OF 11 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1999:421679 HCAPLUS

DOCUMENT NUMBER: 131:87925

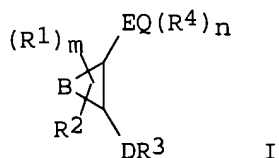
TITLE: Preparation of heteroarylcarbonylaminobenzamides and related compounds as anticoagulants.

INVENTOR(S): Arnaiz, Damian O.; Chou, Yuo-Ling; Karanjawala, Rushad E.; Kochanny, Monica J.; Lee, Wheeseong; Liang, Amy Mei; Morrissey, Michael M.; Phillips, Gary B.; Sacchi, Karna Lyn; Sakata, Stephen T.; Shaw, Kenneth J.; Snider, R. Michael; Wu, Shung C.; Ye, Bin; Zhao, Zuchun; Griedel, Brian D.

PATENT ASSIGNEE(S): Schering Aktiengesellschaft, Germany

SOURCE: PCT Int. Appl., 326 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9932477	A1	19990701	WO 1998-EP7650	19981127 <--
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6140351	A	20001031	US 1998-187459	19981105 <--
CA 2315070	AA	19990701	CA 1998-2315070	19981127 <--
AU 9918759	A1	19990712	AU 1999-18759	19981127 <--
AU 751856	B2	20020829		
EP 1040108	A1	20001004	EP 1998-963519	19981127 <--
EP 1040108	B1	20040225		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2001526283	T2	20011218	JP 2000-525414	19981127 <--
NZ 503809	A	20020426	NZ 1998-503809	19981127 <--
AT 260103	E	20040315	AT 1998-963519	19981127
RU 2226529	C2	20040410	RU 2000-119756	19981127
NO 2000003111	A	20000818	NO 2000-3111	20000616 <--
PRIORITY APPLN. INFO.:			US 1997-994284	A 19971219
			US 1998-187459	A 19981105
			WO 1998-EP7650	W 19981127
OTHER SOURCE(S):			MARPAT 131:87925	
GI				



AB Title compds. [I; m = 1-3; n = 1-5; B, Q = atoms to form aryl, heterocyclyl rings; D, E = NR₅CX; R₈NR₅CX, NR₅SOp, etc.; p = 0-2; X = O, S, H₂; R₁ = H, alkyl, aryl, aralkyl, halo, haloalkyl, cyano, OR₅, CO₂R₅, NR₅R₆, CONR₅R₆ (substituted) heterocyclyl, etc.; R₂ = H, alkyl, aryl, aralkyl, halo, haloalkyl, cyano, OR₅, CO₂R₅, CONR₅R₆, etc.; R₃ = (substituted) heterocyclyl, aryl; R₄ = H, alkyl, halo, haloalkyl, cyano, NO₂, OR₅, CO₂R₅, NR₅R₆, etc.; R₅, R₆ = H, alkyl, aryl, aralkyl; R₈ = alkylene, alkenylene, alkynylene], were prepared Thus, N-(4-chlorophenyl)-2-[[[(4-chloromethyl)-3-chlorothiophen-2-ylcarbonyl]amino]-3-methoxy-5-chlorobenzamide in DMF at 0° was treated with N-methylpiperazine followed by stirring to room temperature to give N-(4-chlorophenyl)-2-[[[4-[(4-methylpiperazin-1-yl)methyl]-3-chlorothiophen-2-yl]carbonyl]amino]-3-

methoxy-5-chlorobenzamide. Title compds. routinely inhibited Factor Xa with $K_i < 3$ nM. An aerosol formulation is given.

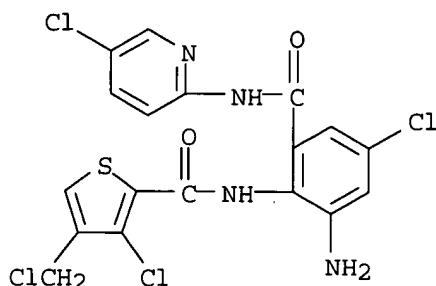
IT **229342-73-8P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of heteroarylcarbonylaminobenzamides and related compds. as anticoagulants)

RN 229342-73-8 HCAPLUS

CN 2-Thiophenecarboxamide, N-[2-amino-4-chloro-6-[[5-chloro-2-pyridinyl)amino]carbonyl]phenyl]-3-chloro-4-(chloromethyl)- (9CI) (CA INDEX NAME)

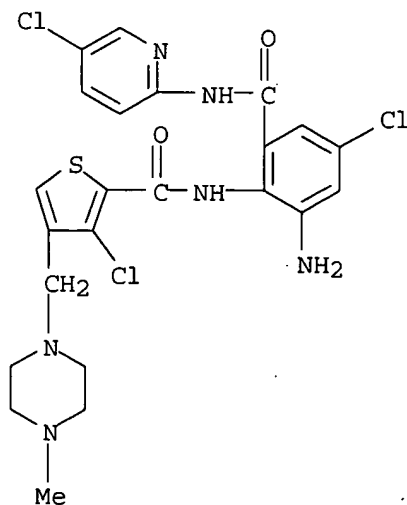


IT **229343-40-2P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of heteroarylcarbonylaminobenzamides and related compds. as anticoagulants)

RN 229343-40-2 HCAPLUS

CN 2-Thiophenecarboxamide, N-[2-amino-4-chloro-6-[[5-chloro-2-pyridinyl)amino]carbonyl]phenyl]-3-chloro-4-[(4-methyl-1-piperazinyl)methyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT:

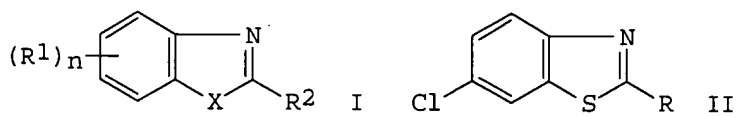
2

THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 8 OF 11 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1991:207259 HCAPLUS
 DOCUMENT NUMBER: 114:207259
 TITLE: Preparation of benzothiazoles and benzimidazoles as blood platelet aggregation inhibitors
 INVENTOR(S): Nishi, Takao; Uno, Tetsuyuki; Koga, Yasuo; Shu, Yoshio; Igawa, Takehiro
 PATENT ASSIGNEE(S): Otsuka Pharmaceutical Co., Ltd., Japan
 SOURCE: Jpn. Kokai Tokkyo Koho, 71 pp.
 CODEN: JKXXAF
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 02306916	A2	19901220	JP 1989-128245	19890522 <--
JP 2869561	B2	19990310		
PRIORITY APPLN. INFO.:			JP 1989-128245	19890522
OTHER SOURCE(S):	MARPAT 114:207259			
GI				



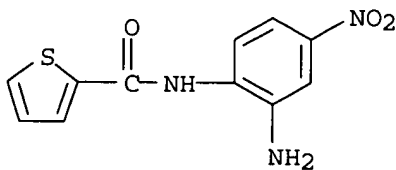
AB The title compds. [I; R1 = halo, cyano, cyanoalkoxy, (substituted) alkyl, acyl, etc.; R2 = alkyl-substituted pyrrolyl, thienyl, pyridylthioalkyl, halophenyl, etc.; X = NR3; R3 = H, alkyl, alkenyl, phenylalkyl; n = 0-2] were prepared Heating a mixture of 1 g dichloro compound II (R = Cl) and 4.2 g piperazine in α -picoline at 100° gave 0.31 g II.HCl (R = piperazino), that gave 78.95% blood platelet aggregation inhibition at 1 + 10⁻⁴ mol. Among 178 addnl. I prepared, 60 tested effective. Tablet, capsule, and injection formulations were given.

IT 133687-95-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation and reaction of, in preparation of blood platelet aggregation inhibitor)

RN 133687-95-3 HCAPLUS

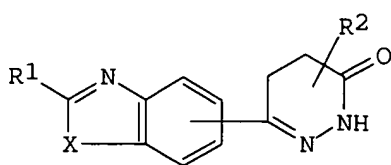
CN 2-Thiophenecarboxamide, N-(2-amino-4-nitrophenyl)- (9CI) (CA INDEX NAME)



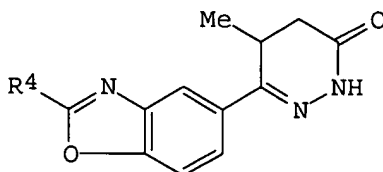
L13 ANSWER 9 OF 11 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1987:18589 HCAPLUS
 DOCUMENT NUMBER: 106:18589
 TITLE: Pyridazinones, their use as cardiovascular agents and their formulations
 INVENTOR(S): Huel, Norbert; Narr, Berthold; Noll, Klaus; Bomhard, Andreas; Heider, Joachim; Psiorz, Manfred; Diederer, Willi; Van Meel, Jacques
 PATENT ASSIGNEE(S): Thomae, Dr. Karl, G.m.b.H., Fed. Rep. Ger.
 SOURCE: Ger. Offen., 40 pp.
 CODEN: GWXXBX
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3511110	A1	19861002	DE 1985-3511110	19850327 <--
EP 196005	A1	19861001	EP 1986-103687	19860318 <--
EP 196005	B1	19891220		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
AT 48841	E	19900115	AT 1986-103687	19860318 <--
DK 8601318	A	19860928	DK 1986-1318	19860321 <--
DD 248362	A5	19870805	DD 1986-288285	19860325 <--
CA 1257588	A1	19890718	CA 1986-505012	19860325 <--
FI 8601288	A	19860928	FI 1986-1288	19860326 <--
NO 8601266	A	19860929	NO 1986-1266	19860326 <--
AU 8655303	A1	19861002	AU 1986-55303	19860326 <--
JP 61227582	A2	19861009	JP 1986-68255	19860326 <--
ES 553463	A1	19870516	ES 1986-553463	19860326 <--
HU 42085	A2	19870629	HU 1986-1275	19860326 <--
ZA 8602248	A	19871125	ZA 1986-2248	19860326 <--
ES 557218	A1	19870516	ES 1986-557218	19861121 <--
ES 557219	A1	19870516	ES 1986-557219	19861121 <--
ES 557220	A1	19870516	ES 1986-557220	19861121 <--
PRIORITY APPLN. INFO.:			DE 1985-3511110	A 19850327
			EP 1986-103687	A 19860318
OTHER SOURCE(S):	CASREACT 106:18589; MARPAT 106:18589			
GI				



I



II

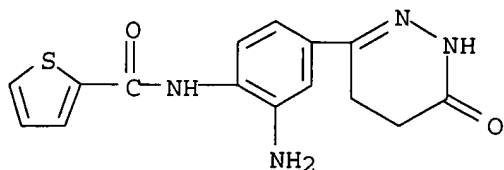
AB Title compds. I (X = NR₃, O, S; R₁ = N-containing heterocyclyl; R₂ = H, alkyl; R₃ = H, alkyl, Ph), useful for treatment of angina, heart failure, high blood pressure, and for prophylaxis of thromboembolisms, were prepared Benzoxazolyldipridazinone II (R₄ = SMe) reacted with imidazole to give 31.4% II (R₄ = imidazol-1-yl) (III). In cats 0.1 mg III/kg i.v. decreased blood pressure 43-45 mm Hg. Tablets were prepared each containing III 50.0, lactose 40.0, corn starch 17.0, polyvinylpyrrolidone 2.0, Mg stearate 1.0 mg.

IT 105737-18-6

RL: RCT (Reactant); RACT (Reactant or reagent)
(cyclization of, benzimidazole by)

RN 105737-18-6 HCAPLUS

CN 2-Thiophenecarboxamide, N-[2-amino-4-(1,4,5,6-tetrahydro-6-oxo-3-pyridazinyl)phenyl]- (9CI) (CA INDEX NAME)



L13 ANSWER 10 OF 11 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1981:115825 HCAPLUS

DOCUMENT NUMBER: 94:115825

TITLE: Thiophene carboxamide fungicides: structure-activity relationships with the succinate dehydrogenase complex from wild-type and carboxin-resistant mutant strains of *Ustilago maydis*

AUTHOR(S): White, G. A.; Thorn, G. D.

CORPORATE SOURCE: Res. Inst., Agric. Canada, London, ON, N6A 5B7, Can.

SOURCE: Pesticide Biochemistry and Physiology (1980), 14(1), 26-40

CODEN: PCBPBS; ISSN: 0048-3575

DOCUMENT TYPE: Journal

LANGUAGE: English

AB A variety of thiophene carboxamide compds. were synthesized and tested on the succinate dehydrogenase [9002-02-2] complex (SDC) in mitochondria from a wild-type strain and carboxin (I) [5234-68-4]-resistant strains of *U. maydis* (corn smut). The action site of thiophene carboxamides is identical to that of I and thenoyltrifluoroacetone, i.e., the succinate-ubiquinone reductase (complex II) span in the mitochondrial electron transfer chain. This investigation reveals new mol. structures which are strong inhibitors of wildtype and I-resistant SDCs. The 5-amino analog of the parent anilide 3-methylthiophene-2-carboxanilide (II) [56776-44-4] proved to be an especially potent inhibitor of the wild-type SDC (I50, 0.019 μ M). Analogs of II such as the 4'-carboethoxy [76656-08-1], 4-butyl [76656-09-2], 4-phenyl [76656-10-5], and 4'-benzoyl [76656-11-6] derivs. were neg. correlated in activity to II with respect to resistance level. A number of structures showed considerable selectivity for mutated SDCs from both highly and (particularly) moderately I-resistant SDCs of *U. maydis*, markedly lowering the resistance level, i.e., the degree of resistance. Thus, in addition to the oxathiins, specific structural groups of thiophene carboxamide can also alleviate or reverse the effect of I-selected mutation with reference to inhibition of the SDC. Mol. selectivity for mutated, I-resistant SDCs can be influenced by replacement of an oxathiin by a thiophene heterocyclic ring as well as by the substitutive group on the amide N, permitting different categories of mutant types and even mutants within a single category to be distinguished from one another. With all the structural combinations available, it appears quite possible, in terms of inhibition, to overcome any type of mutation in a fungal SDC which arises through selection by I or other carboxamide compds. A correlation generally exists between resistant strains of *U. maydis*. A permeability barrier to 4'-substituted analogs of II was encountered in the wild-type strain, but not mutant strains.

Excellent protectant activity against bean rust (*Uromyces phaseoli*) was obtained with 3'-hexyl [76656-12-7], 3'-hexyloxy [76656-13-8], and 4'-phenoxy [76656-14-9] analogs of II.

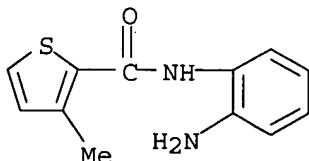
IT 76655-79-3

RL: BIOL (Biological study)

(succinate dehydrogenase complex of *Ustilago maydis* wild-type and carboxin-resistant strains in response to)

RN 76655-79-3 HCAPLUS

CN 2-Thiophenecarboxamide, N-(2-aminophenyl)-3-methyl- (9CI) (CA INDEX NAME)



L13 ANSWER 11 OF 11 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1973:492218 HCAPLUS

DOCUMENT NUMBER: 79:92218

TITLE: Fungicidal 2-(2-thienyl)benzimidazoles

INVENTOR(S): Meyer, Friedrich J.; Kaspers, Helmut; Scheinpflug, Hans

PATENT ASSIGNEE(S): Bayer A.-G.

SOURCE: Ger. Offen., 22 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2201062	A1	19730726	DE 1972-2201062	19720111 <--
US 3810910	A	19740514	US 1972-318964	19721227 <--
NL 7300255	A	19730713	NL 1973-255	19730108 <--
CH 548415	A	19740430	CH 1973-241	19730109 <--
IT 978066	A	19740920	IT 1973-19102	19730109 <--
GB 1364218	A	19740821	GB 1973-1271	19730110 <--
FR 2167954	A1	19730824	FR 1973-888	19730111 <--
JP 48080559	A2	19731029	JP 1973-5567	19730111 <--
JP 48080736	A2	19731029	JP 1973-5568	19730111 <--
PRIORITY APPLN. INFO.:			DE 1972-2201062	A 19720111

GI For diagram(s), see printed CA Issue.

AB Seven benzimidazoles (I, R = Me, Et, CHMe₂, or Bu; R₁ = H or Br) were prepared by reaction of II with ClCO₂R and used as fungicides. Thus, 175 g o-phenylenediamine 117 g thiophene-2-carbonyl chloride and CH₂Cl₂ was stirred 18 hr at room temperature to give 44.5%

N-(2-thienyl)-o-phenylenediamine-

HCl, which was heated with POCl₃ at 75-92° for 3 hr to give 82%

2-(2-thienyl)benzimidazole (II; R₁ = H), which was treated with ClCO₂Me 16 hr to give 88.4% I (R₁ = H, R = Me).

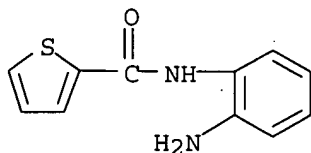
IT 49542-28-1P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 49542-28-1 HCAPLUS

06/09/2006 10814410.trn

CN 2-Thiophenecarboxamide, N-(2-aminophenyl)-, monohydrochloride (9CI) (CA
INDEX NAME)



● HCl

=> log y

COST IN U.S. DOLLARS

FULL ESTIMATED COST

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

CA SUBSCRIBER PRICE

SINCE FILE

ENTRY

76.55

SINCE FILE

ENTRY

-9.75

TOTAL

SESSION

580.55

TOTAL

SESSION

-9.75

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